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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS
          JUL 02 LMEDLINE coverage updated
NEWS 2
NEWS 3 JUL 02 SCISEARCH enhanced with complete author names
 NEWS 4 JUL 02 CHEMCATS accession numbers revised
NEWS 5 JUL 02 CA/CAplus enhanced with utility model patents from China
NEWS 6 JUL 16 CAplus enhanced with French and German abstracts
NEWS .7
         JUL 18 CA/CAplus patent coverage enhanced
         JUL 26 USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS
     9 JUL 30 USGENE now available on STN
NEWS
NEWS 10 AUG 06
                 CAS REGISTRY enhanced with new experimental property tags
NEWS 11
         AUG 06
                 BEILSTEIN updated with new compounds
         AUG 06
                  FSTA enhanced with new thesaurus edition
NEWS 12
                 CA/CAplus enhanced with additional kind codes for granted
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         AUG 13
                  patents
NEWS 14 AUG 20
                 CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 15
         AUG 27
                 Full-text patent databases enhanced with predefined
                 patent family display formats from INPADOCDB
                 USPATOLD now available on STN
NEWS 16 AUG 27
         AUG 28
                 CAS REGISTRY enhanced with additional experimental
NEWS 17
                  spectral property data
NEWS 18
          SEP 07
                  STN AnaVist, Version 2.0, now available with Derwent
                 World Patents Index
         SEP 13
                 FORIS renamed to SOFIS
NEWS 19
         SEP 13
                 INPADOCDB enhanced with monthly SDI frequency ·
NEWS 20
         SEP 17
                 CA/CAplus enhanced with printed CA page images from
NEWS 21
                  1967-1998
NEWS 22
         SEP 17
                 CAplus coverage extended to include traditional medicine
                 patents
         SEP 24
                 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
 NEWS 23
                 CA/CAplus enhanced with pre-1907 records from Chemisches
NEWS 24
         OCT 02
                  Zentralblatt
              19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,
NEWS EXPRESS
              CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.
NEWS HOURS
              STN Operating Hours Plus Help Desk Availability
NEWS LOGIN
              Welcome Banner and News Items
              For general information regarding STN implementation of IPC 8
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```

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=> fil reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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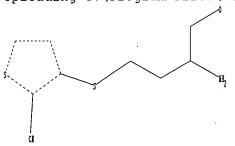
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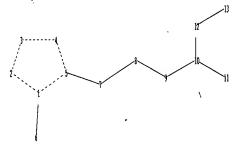
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http://www.cas.org/support/stngen/stndoc/properties.html .

=>

Uploading C:\Program Files\Stnexp\Queries\10521727.str





chain nodes :

6 7 8 9 10 11 12 13

ring nodes:

1 2 3 4 5 chain bonds:

1-6 5-7 7-8 8-9 9-10 10-11 10-12 12-13

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5 5-7 7-8 10-11 12-13

exact bonds :

1-6 8-9 9-10 10-12

Match level :

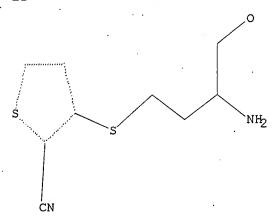
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS

STRUCTURE UPLOADED L1

=> d

L1 HAS NO ANSWERS

L1



Structure attributes must be viewed using STN Express query preparation.

ENTER LOGIC EXPRESSION, QUERY NAME, OR (END):end SEARCH ENDED BY USER

=> s 11

SAMPLE SEARCH INITIATED 10:12:15 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 1 TO ITERATE

BATCH

100.0% PROCESSED

1 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

COMPLETE

PROJECTED ITERATIONS:

80 1 TO

PROJECTED ANSWERS:

0 TO

L2

0 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 10:12:18 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 13 TO ITERATE

100.0% PROCESSED 13 ITERATIONS

4 ANSWERS

SEARCH TIME: 00.00.01

4 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE ENTRY TOTAL

FULL ESTIMATED COST

172.10

SESSION 172.31

FILE 'CAPLUS' ENTERED AT 10:12:20 ON 11 OCT 2007

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FILE COVERS 1907 - 11 Oct 2007 VOL 147 ISS 16 FILE LAST UPDATED: 10 Oct 2007 (20071010/ED)

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=> s 13

L4 1 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:80678 CAPLUS DOCUMENT NUMBER: 140:145993

DOCUMENT NUMBER:

140:145993
Preparation of aminohydroxyalkylthiothiophenecarbonitr ilea as nitric oxide synthase (NOS) inhibitors.
Mete, Antoniov Walters, Iain
Astrazeneca Ab, Swed.
PCT Int. Appl., 37 pp.
CODEN: PIXXD2
Patent TITLE:

INVENTOR (S)

English

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE OTHER SOURCE(S): MARPAT 140:145993

Title compds. [1, Y = (fluoro)alkyl, (fluoro)alkoxy, halo, CN, C:CH, NO2, CH2OH, CHO, Ac, NH2, NHCHO, NHCOCH3, NHSO2Me; T, U, W = CX, N, NR13, O, SOm; m = 0-2; X = H, (fluoro)alkyl, (fluoro)alkoxy, halo, OH, SH, CN, C:CH, N(R14)2, NO2, CH2OH, CHO, Ac, NHCHO; V = NR7, O, CH2, SOn, CH2O, CH2NR7, CH2SOn, CH2CH2, CH:CH; n = 0-2; M = C, N; R1, R8 = H, He.; R2 = alkyl, alkeyl, alkyyl, cycloalkyl, 4-8 membered saturated heterocyclyl incorporating 1 O, S, N; any of said groups being optionally further substituted by alkyl, alkoxy, alkylthio, cycloalkyl, halo, (substituted) Ph; or R2 = (substituted) Ph, 5-6 membered heteroaryl containing 1-3 O, S,

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN

CM 2

о о || || - с– с– он

651353-86-5 CAPLUS 2-Thiophenecarbonitrile, 3-{{(1R,3S}-3-amino-4-hydroxy-1-phenylbutyl}thio}-5-mathyl-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 651353-84-3 CMF C16 H18 N2 O S2

Absolute stereochemistry.

СМ 2

144-62-7 C2 H2 O4

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE · COUNT:

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
R3 = H, (substituted) alkyl, cycloalkyl; R4-R7, R9-R12, R14 = H, alkyl;
R13 = H, alkyl, CHO, Ac, SOZCH3, CF3], were prepd. Thus,
1,1-dimethylethyl (8)-4-([2R)-2-mercapto-2-phenylethyl)-2,2-dimethyl-3cxazolidinecarboxylate (prepn. given), 3-bromothiophene-2-carbonitrile,
and NaH were stirred 24 h in DMF to give 1,1-dimethylethyl
(4S)-4-[(2R)-2-[(2-cyano-3-thienyl)thio]-2-phenylethyl]-2,2-dimethyl-3cxazolidinecarboxylate. The latter was stirred 2 h with 4H HCl in dioxane
to give a residue which was treated with oxalic acid in RE20 to give .
3-[(1R, 35)-3-amino-4-hydroxy-1-phenylbutyl]thio]-2-thiophenecarbonitrile
cxalate. I inhibited iNOS with ICSO <10 µM.
651353-83-2P 651353-84-3P 651353-85-4P
RL: PAC (Pharmacological activity); SFN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of aminohydroxyalkylthiothiophenecarbonitriles as nitric

synthase inhibitors)
651333-83-2 CAPLUS
2-Thiophenecarbonitrile, 3-[[(1R,35)-3-amino-4-hydroxy-1-phenylbutyl]thio](CA INDEX NAME)

Absolute stereochemistry.

651353-84-3 CAPLUS
2-Thiophenecarbonitrile, 3-[[(1R,3S)-3-amino-4-hydroxy-1-phenylbutyl]thio]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.

651353-85-4 CAPLUS
2-Thiophenecarbonitrile, 3-[[(1R,3S)-3-amino-4-hydroxy-1-phenylbutyl]thio]-, ethanedioate (11) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 651353-83-2 CMF C15 H16 N2 O S2

Absolute stereochemistry.

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

=> fil reg SINCE FILE TOTAL COST IN U.S. DOLLARS ENTRY SESSION 178.05 5.74 FULL ESTIMATED COST DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL SESSION ENTRY -0.78-0.78CA SUBSCRIBER PRICE

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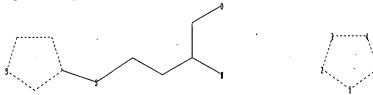
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http://www.cas.org/support/stngen/stndoc/properties.html

=> Uploading C:\Program Files\Stnexp\Queries\10521727b.str



chain nodes : 6. 7 8 9 10 11 ring nodes : 1 2 3 4 5 chain bonds : 5-6 6-7 7-8 8-9 9-10 9-11 ring bonds : 1-2 1-5 2-3 3-4 exact/norm bonds : 1-2 1-5 2-3 3-4 4-5 5-6 6-7 9-10 11-12 exact bonds : 7-8 8-9 9-11

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS

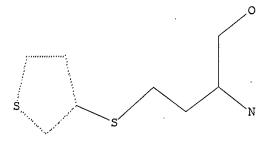
STRUCTURE UPLOADED L5

=> d

L5 HAS NO ANSWERS

L5

STR



Structure attributes must be viewed using STN Express query preparation.

=> s 15

SAMPLE SEARCH INITIATED 10:13:11 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

0 TO

PROJECTED ANSWERS:

0 TO

0 SEA SSS SAM L5

=> s 15 full

FULL SEARCH INITIATED 10:13:14 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 22 TO ITERATE

100.0% PROCESSED

22 ITERATIONS

4 ANSWERS

SEARCH TIME: 00.00.01

4 SEA SSS FUL L5

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILÉ

TOTAL

FULL ESTIMATED COST

ENTRY 172.10

SESSION 350.15

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

CA SUBSCRIBER PRICE

ENTRY SESSION

0.00

-0.78

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=> s 17

L8 1 L7

=> fil reg

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
0.47 350.62

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE

0.00 -0.78

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TSCA INFORMATION NOW CURRENT THROUGH June .29, 2007

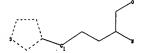
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

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=>

Uploading C:\Program Files\Stnexp\Queries\10521727c.str



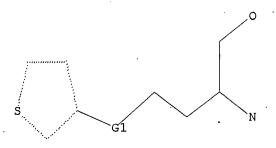
```
chain nodes :
6  7  8  9  10  11  12
ring nodes :
1  2  3  4  5
chain bonds :
5-6  6-7  7-8  8-9  9-10  9-11  11-12
ring bonds :
1-2  1-5  2-3  3-4  4-5
exact/norm bonds :
1-2  1-5  2-3  3-4  4-5  5-6  6-7  9-10  11-12
exact bonds :
7-8  8-9  9-11
```

G1:C,O,S,N

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS

=> d

L9 HAS NO ANSWERS



G1 C, O, S, N

Structure attributes must be viewed using STN Express query preparation.

=> s 19

SAMPLE SEARCH INITIATED 10:14:13 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 31 TO ITERATE

100.0% PROCESSED

31 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

286 TO 954

PROJECTED ITERATIONS:

PROJECTED ANSWERS:

1 TO

80

1 SEA SSS SAM L9

=> ·s 19 full

FULL SEARCH INITIATED 10:14:17 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 651 TO ITERATE

100.0% PROCESSED 651 ITERATIONS

34 ANSWERS

SEARCH TIME: 00.00.01

L11

34 SEA SSS FUL L9

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

172.55 523.17

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL

ENTRY SESSION

CA SUBSCRIBER PRICE

0.00 -0.78

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=> s 111 · 10 L11

=> d ibib abs hitstr tot

ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS ON STN ESSION NUMBER: 2004:931485 CAPLUS MENT NUMBER: 141:388679

DOCUMENT NUMBER: TITLE:

1sl:388679
2-Aminopropane-1,3-diol derivatives having heteroaryl group and immunosuppressants containing them Kono, Yasushi, Tanase, Takahiro; Ando, Naoki; Kuriyama, Kazuhiko
Kyorin Pharmaceutical Co., Ltd., Japan
Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
Patent INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004307442	λ	20041104	JP 2003-106729	20030410
PRIORITY APPLN. INFO.: OTHER SOURCE(S):	MARPAT	141:388679	JP 2003-106729	20030410
GI				

The compound I [R1, R2 = H, C1-4 (halo)alkyl, OCH2Ph; Ar = naphtha;ene, benzothiophene, benzofuran, 2,3-dihydrobenzofuran, dibenzofuran, indole, indoline, pyridine, quinoline ring; m = 0, 1; n = 1-3], their pharmacol. acceptable salts, and their hydrates are claimed. Immunosuppressants containing ≥1 selected from I, their salts, and their hydrates are also colaimed. The immunosuppressants are useful for prevention or treatment of autoimmune diseases, rheumatoid arthritis, psoriasis, atopic dermatitis, sathmas, pollinosis, rejection in organ and bone marrow transplantation, etc. Thus, 2-amino-2-([3-(6-benzyloxybenzofuran-3-yl)propyl])propane-1,3-diol (preparation given) at 3 mg/kg showed 72% inhibition against GVMD in C3H/HeN mice which receive transplantation of splenocytes of BALB/c mice. 787550-38-37 787550-52-1P

(Uses)
(preparation of 2-amino-1,3-propanediol derivs. having phenoxy- or benzyloxy-substituted heterocyclyl group as immunosuppressants)
787550-38-3 CAPLUS
1,3-Propanediol, 2-amino-2-[3-[6-(phenylmethoxy)benzo[b]thien-3-yl]propyl]-, hydrochloride (9CI) (CA INDEX NAME)

L12 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

787549-90-0 CAPLUS Carbamic acid, [1,1-bis(hydroxymethyl)-4-[6-(phenylmethoxy)benzo[b]thien-3-yl]butyl]-, 1,1-dimethylethyl ester (SCI) (CA INDEX NAME)

L12 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

● HC1

787550-52-1 CAPLUS 1,3-Propondiol; 2-amino-2-[3-[6-[[3-(phenylmethoxy)phenyl]methoxy]benzo[b]thian-3-yl]propyl]-, hydrochloride (9CI) (CA INDEX NAME)

• HCl

IT

787549-68-2
RL: PAC (Pharmacological activity), THU (Therapeutic use), BIOL (Biological study), USES (Uses) (preparation of 2-amino-1,3-propanediol derivs. having phenoxy- or benzyloxy-substituted heterocyclyl group as immunosuppressants) 787549-68-2 CAPLUS

/ю /эв-чов-2 CAPUUS 1,3-Propanediol, 2-amino-2-[3-[6-[3-(phenylmethoxy)phenoxy]benzo(b]thien-3-yl]propyl]- (CA INDEX NAME)

787549-75-1P 787549-90-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-amino-1,3-propanediol derivs, having phenoxy- or benzyloxy-substituted heterocycly! group as immunosuppressants)
787549-75-1 CAPLUS
Propanedioic acid, [[(1,1-dimethylethoxy)carbonyl]amino][3-[6-(phenylmethoxy)benzo[b]thien-3-yl]propyl]-, diethyl ester (9CI) (CA INDEX NAME)

L12 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2004:80678 CAPLUS DOCUMENT NUMBER: 140:14593
TITLE: Prapagation

140:14593
Preparation of aminchydroxyalkylthiothiophenecarbonitr iles as nitric oxide synthase (NOS) inhibitors. Mete, Antoniov Balters, Isin Astrazeneca Ab, Swed. PCT-111. Appl., 37 pp. CODEN: PIXXD2 Patent English 1

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2003-SE1215 WO 2004009580 A1 20040129 20030715 OTHER SOURCE(S): MARPAT 140:145993

Title compds. [I, Y = (fluoro)alkyl, (fluoro)alkoxy, halo, CN, C:CH, NO2, CH2OH, CHO, Ac, NH2, NHCHO, NHCOCH3, NHSO2Me; T, U, W = CX, N, NRI3, O, SOm; m = 0-2; X = H, (fluoro)alkyl, (fluoro)alkoxy, halo, OH, SH, CN, C:CH, NRI4)2, NO2, CH2OH, CHO, Ac, NHCHO; V = NR7, O, CH2, SOn, CH2O, CH2NR7, CH2SOn, CH2CH2, CH:CH; n = 0-2; M = C, N; R1, R8 = H, Me.; R2 = alkyl, alkeyl, alkyyl, cycloalkyl, 4-8 membered saturated heterocyclyl incorporating 1 O, S, N; any of said groups being optionally further substituted by alkyl, alkoxy, alkylthic, cycloalkyl, halo, (substituted) Ph; or R2 = (substituted) Ph, 5-6 membered heteroaryl containing 1-3 O, S,

R3 = H, (substituted) alkyl, cycloalkyl, R4-R7, R9-R12, R14 = H, alkyl, R13 = H, alkyl, CHO, Ac, SO2CH3, CF3], were prepared Thus,

L12 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
1,1-dimethylethyl (45)-4-((2R)-2-mercapto-2-phenylethyl)-2,2-dimethyl-3oxazolidinecarboxylate (prepn. given), 3-bromothiophene-2-carbonitrile,
and MaH were stirred 24 in DMF to give 1,1-dimethylethyl
(45)-4-[(2R)-2-[(2-cyano-3-thienyl]thio]-2-phenylethyl]-2,2-dimethyl-3oxazolidinecarboxylate. The latter was stirred 2 h with 4H HCl in dioxane
to give a residue which was treated with oxalic acid in Et2O to give
3-[[(R, 35)-3-amino-4-hydroxy-1-phenylbutyl]thio]-2-thiophenecarbonitrile
oxalate. 1 inhibited HNOS with ICSO <10 HH.

11 651353-80-2D 651353-84-3P 551353-85-4P
651353-80-2D 651353-84-3P 551353-85-4P
651353-80-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREF (Preparation); USES
(Uses)

(preparation of aminohydroxyalkylthiothiophenecarbonitriles as nitric

eynthase inhibitors)
651353-83-2 CAPLUS
2-Thiophemecarbonitrile, 3-[[(1R,3S)-3-amino-4-hydroxy-1-phenylbutyl]thio](CA INDEX NAME)

Absolute stereochemistry.

651353-84-3 CAPLUS
2-Thiophenecarbonitrile, 3-[[(1R,3s)-3-smino-4-hydroxy-1-phenylbutyl]thio]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.

651353-85-4 CAPLUS 2-Thiophenecarbonitrile, 3-[{(1R,3S)-3-amino-4-hydroxy-1-phenylbutyl]thio]-, ethanedioate (1:1) (salt) (9Cl) (CA INDEX NAME)

CM 1

CRN 651353-83-2 CMF C15 H16 N2 O S2

Absolute stereochemistry.

L12 ANSWER 3 OF 10
ACCESSION NUMBER:
DOCUMENT NUMBER:
137:332741
4-Substituted D-Glutamic Acid Analogues: The First
Potent Inhibitors of Glutamate Racemase (Murl) Enzyme
with Antibacterial Activity
de Dios, Alfonso: Prieto, Lourdes: Martin, Jose
Alfredo: Rubio, Almudena: Equerra, Jesus: Tebbe,
Mark: Lopez de Uralde, Beatriz: Martin, Justina;
Sanchez, Ana; LeTourneau, Deborah L.: McGee, James E.:
Boylan, Carole; Parr, Thomas R., Jr.: Smith, Michele
CORPORATE SOURCE:
Lilly and Co., Lilly S.A., Alcohendas, Madrid,

Eli Lilly and Co., Lilly S.A., Alcobendas, Madrid, 28108, Spain
Journal of Medicinal Chemistry (2002), 45(20), 4559-4570
CODEN: JMCMAR; ISSN: 0022-2623
American Chemical Society
Journal
English
CASREACT 137:332741

PUBLISHER: DOCUMENT TYPE:

LANGUAGE: OTHER SOURCE(S):

CORPORATE SOURCE:

CO2H

The first potent inhibitors of glutamate racemase (MurI) enzyme that show whole cell antibacterial activity are described. Optically pure 4-substituted D-glutamic acid analogs with (2R, 4S) stereochem, and bearing aryl-, heteroaryl-, cinamyl-, or biaryl-He substituents represent a novel class of glutamate racemase inhibitors. Exploration of the D-Glu core led to the identification of lead compds. 2-Naphthylmethyl derivative (I) was a potent competitive inhibitor of glutamate racemase activity (Ki = 16 nM, CD assay). Thorough structure-activity relation (SAR) studies led to benachienyl derivs, such as 68 and 74 with increased potency (IEDC) . 0.036 and 0.01 µg/mL, resp., HPLC assay). These compds. showed potent whole cell antiacterial activity against 5. pneumoniae PN-R6, and good correlation with the enzyme assay. Some of the prepared substances showed efficacy in an in vivo murine thigh infection model against Streptococcus pneumoniae. Data described herein suggest that glutamate racemase may be a vicine of the complex of th

(preparation and structure-activity relationship of D-glutamic acid

ogs
as potent inhibitors of glutamate racemase with antibacterial activity)
400625-60-7 CAPLUS
D-Glutamic acid, 4-(benzo[b]thien-3-ylmethyl)-, (4S)- (9CI) (CA INDEX
NAME)

L12 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

2

651353-86-5 CAPLUS
2-Thiophenecarbonitrile, 3-[[(1R,3S)-3-amino-4-hydroxy-1-phenylbuty1]thio]-5-methyl-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CRN 651353-84-3 CMF C16 H18 N2 O S2

Absolute stereochemistry.

CM 2

CRN 144-62-7 CMF C2 H2 O4

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT:

L12 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN Absolute stereochemistry. Rotation (-).

REFERENCE COUNT: THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2002:142656 CAPLUS DOCUMENT NUMBER: 136:200471 TITLE: Preparation of Columnia Pr

136:200471
Preparation of D-glutamic acid derivatives as inhibitors of glutamate racemase
De Dios, Alfonson Ezquerra-Carrera, Jesus; McGee,
James Eugene; Martin, Jose Alfredo; Prieto, Lourdes;
Rubio-Esteban, Almudena; Smith, Michele Geceil; Tebbe,
Mark Joseph
Eli, Lilly and Company, USA
PCT Int. Appl., 83 pp.
CODEN: PIXX02
Patent INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATEN	NO.			KIN	D	DATE			APPL					D	ATE	
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WO 20	020142	61 -						,	WO 2	001-	US22	589.		2	0010	809
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	LS.	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,
	RO,	RU,	SD,	SE,	SG,	51,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,
	UZ,	VN,	Yυ,	ZA,	ZW											
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	IE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,
						SN,										
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									US 2	001-	2883	61P		P 2	0010	503
								,	WO 2	001-	US22	589	1	W 2	0010	809

OTHER SOURCE(S): MARPAT 136:200471

ки1 созн HO2C NH2 I

Compds. I [X is a bond, O, S, SO or SO2; R1 = (C1-10)alkyl, (C2-10)alkenyl or -alkynyl, (C4-10)alkadienyl, carboxamido- or aminocarbonyl(C1-8)alkyl which may be substituted by (C3-10)cycloalkyl or by one or two (un)substituted aromatic groups, provided that when X represents a bond, R1 can not represent a 3-phenyl-2-propenyl, 3-(4-chlorophenyl)-2-propenyl, 4-fluorobenzyl or 1-naphthylmethyl group) or their esters, amides or salts were prepared as inhibitors of glutamate racemase for use as antibiotics. Thus, (2R,4S)-2-amino-4-(2-naphthyl)methylpenthanedioic acid was prepared by alkylation of D-Et N-(tert-butchycarbonyl)pyroglutamate with 2-naphthylmethyl bromide, followed by ring cleavage/deprotection using LiOH in aqueous THF and workup.

400625-60-7P 400625-65-2P 400626-09-7P 400626-10-9P (Pharmacological activity), SPN (Synthetic preparation), THU AB

ΙT RL: PAC (Pharmacological activity), SPN (Synthetic preparation), THU (Therapeutic use), BIOL (Biological study), PREP (Preparation), USES

L12 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

400626-13-3 CAPLUS 40052-13-3 CAPLUS D-Glutamic acid, 4-(benzo{b].thien-3-ylmethyl}-, di-2-propenyl ester, (45)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

400626-65-5P 400626-66-6P RL: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of D-glutamic acid derive. as inhibitors of glutamate racenase)

mase)
400626-65-5 CAPLUS
D-Glutamic acid, 4-(benzo[b]thien-3-ylmethyl)-N-[(1,1dimethylethoxy)carbonyl]-, 1-(phenylmethyl) ester, (45)- (9CI) (CA INDEX

Absolute stereochemistry.

400626-66-6 CAPLUS
D-Glutamic acid, 4-(benzo[b]thian-3-ylmethyl)-N-[(1,1-dimethylethoxy)carbonyl]-, 5-ethyl 1-(phenylmethyl) ester, (4S)- (9CI)(CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) (Uses) (prepn. of D-glutamic acid derivs. as inhibitors of glutamate racemase) 400625-60-7 CAPLUS D-Glutamic acid, 4-(benzo[b]thien-3-ylmethyl)-, (45)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

400625-65-2 CAPLUS
D-Glutamic acid, 4-[(5-fluorobenzo[b]thien-3-y1)methyl]-, (4S)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

400626-09-7 CAPLUS D-Glutamic acid, 4-(benzo(b)thien-3-ylmethyl)-, 1-(phenylmethyl) ester, hydrochloride, (45)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

• HC1

400626-10-0 CAPLUS
D-Glutamic acid, 4-(benzo(b)thien-3-ylmethyl)-, 5-ethyl 1-(phenylmethyl)
ester, hydrochloride, (45)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L12 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L12 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
133:282081
Preparation of 4-aminobutanoic acid derivatives as matrix metalloproteinase inhibitors
Takahashi, Xanjir, Sugiura, Tsuneyuki
Ono Pharmaceutical Co., Ltd., Japan
FCT Thur. Appl., 150 pp.
COEN: PIXXD2
Patent

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent

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•	PATENT NO.					KIND DATE			APPLICATION NO.							DATE				
	WO	2000	0598	65		A1		2000	1012	,	WO	2000-	JP21	91		- 2	20000	405		
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										1	US	2001-	9580	93		A3 2	20011	005		
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OTHER SOURCE(S): · MARPAT 133:282081

The title comounds I [R1 = CO2R10, etc.; R10 = H, alkyl, etc.; R2 = R7 = H, alkyl, alkenyl, etc.; R8 = H, alkoxycarbonyl, etc.; R9 = alkyl, alkoxy, etc.; dotted line indicates single or double bondl are prepared Due to their inhibition of matrix metalloproteinase, I are useful in preventing and/or treating rheumatism, osteoarthritis, deforming osteroarthrosis, pathol. bone resorption, osteoporosis, paradentosis, interstitial nephritis, arteriosclerosis, pulmonary emphysema, liver cirrhosis, corneal damage, corneal ulcer, diseases in association with metastatic infiltration

proliferation of cancer cells, autoimmune diseases (Crohn's disease, Sjogren's disease), diseases in association with leukocyte migration into vessels and infiltration, angiogenesis, multiple solerosis, aortic aneurysm, endometriosis, post-PTGA reconstriction, unstable angina, acute myocardial infarction, transient cerebral ischemic attack, etc.

L12 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

299431-20-2 CAPLUS 3-Thiophenepropanoic acid, $\alpha-\{(2S)-3-(ethoxymethoxy)-2-\{(4-nitrobenzoyl)amino]propyl]-, methyl ester, (eS)-(SCI) (CA INDEX NAME)$

Absolute stereochemistry

299431-21-3 CAPLUS 3-Thiophenepropanoic acid, α -{{2S}-3-(ethoxymethoxy)-2-[4-nitrobenzoyl] amino]propyl]-, 2-propenyl ester, { α S}- {9CI} {CA INDEX NAME}

Absolute 'stereochemistry.

299431-74-6 CAPLUS
3-Thiophenepropanamide, α-[(2S)-3-(ethoxymethoxy)-2-[(4-nitrobenzoyl)amino]propyl]-N-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

L12 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

N-Hydroxy-2(5)-(3-phenylpropyl)-5-hydroxy-4(5)-(N-methyl-N-(4-bromophenylcarbonyl)amino]pentanamide in vitro showed IC50 of 0.0042 μM against gelatinase A. Formulations are given.

IT 299431-17-7P 299431-18-8P 299431-19-9P
299431-20-2P 299431-21-3P 299431-74-6P
299431-99-5P

RLI BAC (Biological activity or effector, except adverse), BSU (Biological activy, unclassified), SFN (Synthetic preparation), THU (Therapeutic use),
BIOL (Biological study), PREP (Preparation), USES (Uses)
(preparation of 4-aminobutanoic acid derivs, as matrix metalloproteinase inhibitors)

RN 299431-17-7 CAPLUS

CN 3-Thiophenepropanoic acid, α-[(25)-3-(ethoxymethoxy)-2-[(4-nitrobenzoyl)amino]propyl]-, (α5)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

299431-18-8 CAPLUS 3-Thiophenepropanoic acid, α -{{2S}-3-{ethoxymethoxy}-2-{{4-nitrobenzoy1}amino}propyl]-, 1,1-dimethylethyl ester, (αS) -{9CI}(CA INDEX NAME)

Absolute stereochemistry.

299431-19-9 CAPLUS 3-Thiophenepropanoic acid, α -[{2S}-3-{ethoxymethoxy}-2-[{4-nitrobenzoy1}amino]propyl]-, phenylmathyl ester, (α S}- (9CI) (CA INDEX NAME) . (CA

Absolute stereochemistry.

L12 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) Absolute stereochemistry.

299431-99-5 CAPLUS
Benzo[b] Chiophene-3-propanamide, N-hydroxy-a-[(2s]-3-hydroxy-2-[(4-nitrobenzoy1) amino]propy1]-, (aS)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

29432-56-7P
RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent) (preparation of 4-aminobutanoic acid derive, as matrix metalloproteinase inhibitors)
299432-56-7 CAPLUS
Benzo(b)thiophene-3-propanoic acid, a-[(2S)-3-[(1,1-dimothylathyl)dimethylsilyl)oxyl-2-[methyl(4-nitrobenzoyl)amino]propyl]-, 2-propanyl ester, (aS)- (SCI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Aminobutancic acid derivs. represented by general formula (I) and salts thereof [wherein R1 = CO2R10, CONHOR10, CONHNHR10, (CH2)nSR50, Y-P(:0) [OR51]2: R10 = H, C1-8 alkyl, Ph, phenyl- or C1-8 alkoxy-C1-8 alkyl, PhO2C, PhCH2O2C, C1-8 alkoxy-carbonyl, wherein n = 0-3: R50 = H, C1-8 alkyl, C1-8-alkyl-carbonyl, PhO0, SH, C1-8 alkylthio, SFh: R51 = H, C1-8 alkyl, Ph: Y = single bond, CH2, O: R2-R7 = H, C2-8 alkenyl, (un)substituted SH, OH, or NH2, CO2H, C1-8 alkyl-carbonyl, C1-8 alkyl-carbonyl, un]substituted carbocycylyl or heterocyclyl, (un)substituted C1-8 alkyl-ener or R2 and R3, R4 and R5, or R5 and R6 together represents C1-8 alkylener or R2 and R3, R4 and R5, or R6 and R7 together represents C1-8 alkylener or R2 and R3, R4 and R5, or R6 and R7 together represent C2-8 alkylener or R2 and R3, R4 and R5, or R6 and R7 together represent C2-8 alkylener or R2 and R3, R4 and R5, or R6 and R7 together carbocyclyl, R9 = (un)substituted C1-8 alkyl, or C1-8 alkoxy-carbonyl, R9 = (un)substituted carbocyclyl) r when R8 = R1, (un)substituted C1-8 alkylener, J = single bond, O, S, NH, C1-8 alkyl-N] are prepared and claimed. Also claimed are matrix metalloproteinses containing I as the active ingredients and drugs

containing I as the active ingredients for the prevention and/or treatment

of rheumatism, osteoarthritis, pathol. bone resorption, osteoporosis, periodontal diseases, interstital nephritis, arteriosclerosis, pulmonary emphysema, hepatic cirrhosis, corneal injury, diseases due to metastasis and infiltration of cancer cells or proliferation thereof, autoimmune diseases (such as Crohn's diseases and Sjogren's diseases, due to transmigration of white blood cells or infiltration thereof, necovascularization, multiple sclerosis, acrtic aneurysm, or endometritis. For example, the title compound (II) showed ICSO of 26 nM against human stromelysin. A table and an ampule formulation containing II were described.

IT 223469-75-8P 223469-86-1P 223469-87-2P
223469-33-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified), SFN (Synthetic preparation), THU (Therapeutic use); BIOL (Biological study); PREP (Preparation) (DESC (Uses))
(preparation of aminobutanoic acid derivs, as inhibitors of matrix metalloproteinases for prevention and treatment of diseases)

RN 223469-75-8 CAPLUS

CN Benzo(s) (ICA INDEX NAME)

L12 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1999:271331 CAPLUS DOCUMENT NUMBER: 130:311803

TITLE:

130:311803
Preparation of aminobutanoic acid derivatives as inhibitors of matrix metalloproteinases
Takahashi, Kanjir Supiura, Tsuneyuki
Ono Pharmaceutical Co., Ltd., Japan
PCT Int. Appl., 557 pp.
CODEN: PIXXD2
Patent
Japanese INVENTOR (S):
PATENT ASSIGNEE (S):
SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT N	10.			KIND		DATE			APP	LIC	TA	ION	NO.			DATE			
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L12 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) Absolute stereochemistry.

223469-86-1 CAPLUS
Benzo[b] thiophene-3-propanamide, a-[(2S)-2-[(4-bromobenzoy1)amino]-3-(ethoxymethoxy)propy1]-N-hydroxy-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

223469-87-2 CAPLUS Benzo[b]thiophene-3-propanamide, α -[{25}-2-[{4-chlorobenzoyl}amino]-3-(ethoxymathoxy)propyl]-N-hydroxy-, $(\alpha 5)$ - [9CI] (CA INDEX NAME)

Absolute stereochemistry.

L12

ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 223469-93-0 CAPLUS Benzo[b]thiophene-3-propanamide, α -[(25)-3-(ethoxymethoxy)-2-[(4-nitrobenzoyl)amino[propyl]-N-hydroxy-, (α 5)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

S on STN 1997-884479 1996-665776 1996-775586 1996-778733 1997-US14344 1998-US7522 (Continued)
A 19970627
A2 19960617
A2 19961231
A2 19961231
W 19970815
W 19980413 L12 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007

OTHER SOURCE(S):

MARPAT 128:270730

The present invention relates to a method of treating a glutamate abnormality and a method of effecting a neuronal activity in an animal using a NAALADase inhibitor I (R1 = H, C1-9 straight or branched alkyl, C2-9 straight or branched alkenyl, C3-8 cycloalkenyl, C5-7 cycloalkenyl and aryl, etc., R2 = C1-9 straight or branched alkenyl, C3-8 cycloalkyl, C5-7 cycloalkenyl and aryl, etc., X = 0, organoaminon organomathylane, and a pharmaceutical composition comprising an effective amount of a ADase

NUMBER 1 Inhibitor for treating a glutamate abnormality and effecting a neuronal activity in an animal. Thus, reaction of Me O-benzylphosphinic acid (preparation given) with dibenzyl 2-methylenepentanedioate in the presence

Et3N/Me3SiC1 in CH2C12 followed by treatment with Me3A1 and Pd-catalyzed hydrogenation gave title compound, 2-[(methylhydroxyphosphinyl]methyl]pentan edioic acid, MeP(O)(OH)CH2CH(CO2H)CH2CH2CO2H. The biol. activity of the compds. prepared is described and discussed in detail. 200701-09-3P

200701-09-39.

RE: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of glutamate derived hydroxyphosphinylalkanoic acids and nasladase compns. and methods for treating glutamate abnormality and effecting neuronal activity in animals) 200701-09-3 CAPLUS 3-Thiophenapentanoic acid, a-[[hydroxy(phenylmethyl)phosphinyl]amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1998:208423 CAPLUS DOCUMENT NUMBER: 128:270730 Naaladase compositions and most 128:220730
Maaladase compositions and methods for treating glutamate abnormality and effecting neuronal activity in animals
Slusher, Barbara S., Jackson, Paul F., Tays, Kevin L., Maclin, Keith M.
Guilford Pharmacouticals Inc., USA
PCT Int. Appl., 284 pp.
CODEN: PIXXD2
Patent
English
17 INVENTOR (5): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. DATE APPLICATION NO. DATE APPLICATION NO.

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, BA, BB, BG, BR, BY, CA, CH, CN,
, GE, HU, IL, IS, JP, KE, KG, KP,
, IV, MD, MG, MK, MN, MX, MX,
, SI, SK, TJ, TM, TR, TT, UA, UG,
, SZ, UG, ZW, AT, BE, CH, DE, DK,
, MC, NL, PT, SE, BF, BJ, CF, CG,
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W: AL, AM, DK, EE, LK, LR, RO, RU, RW: GH, KE, GB, GR, GN, US 5924662
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WF AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, CA, CH, CN, CU, CZ, DE, CM, KP, KR, KZ, LC, LK, LK, LS, LT, LU, LV, MD, MG, MK, MM, MW, MX, ND, NZ, PL, PT, FRO, RM, SD, SE, SG, SI, SK, SL, TJ, TH, TR, TT, UA, UG, UZ, VM, VU, ZW

RM GH, GH, KE, LS, MW, SO, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, CM, GM, GW, HU, ID, TG

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B1 9970827

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A 19970827 19970815 19970815 RU 2201057

RU 2211697

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WO 9847906

W: AL, AM, AT

DK, EE, ES

KP, KR, K2

NO, N2, U2

RW: GH, GM, KE

FI, FR, GI

CH, GA, GA

AU 9869723

NO 9901387

US 528046

PRIORITY APPEN. INFO.:

L12 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1998:28668 CAPLUS
DOCUMENT NUMBER: 128:84389
TITLE: Methods of concer treatment us 128:84389
Methods of cancer treatment using NAALADase inhibitors Slusher, Barbara S.; Jackson, Paul F.; Tays, Kevin L.; MacLin, Keith M. Guilford Pharmaceuticals Inc., USA PCT Int. Appl., 235 pp. CODEN: PIXXD2
Patent English
17 INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

US 1997-864545 A 19970528
WO 1997-US10149 W 19970613
R SOURCE(S): MARPAT 128:84389
Glutamate-derived hydroxyphosphinyl derivs. are claimed as NAALADase inhibitors for the treatment of cancer. Phosphonate, hydroxyphosphinyl, and phosphoramidate derivs. RIP(O)(OH)XCHR2CO2H [RI = H, alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl X = CH2, O, NRI, RZ = alkyl, alkenyl, cycloalkyl, cycloalkenyl, or aryl which may be optionally substituted with carboxylic acid] were prepared In vitro assays of NAALADase inhibitory activities are tabulated for several phosphinyl derivs., e.g., 2-(phosphonomethyl)pentanedioic acid.
200701-09-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation)); USES (Uses)
(methods of cancer treatment using NAALADase inhibitors)
200701-09-3 CAPLUS
3-Thiophenepentanoic acid, a-{{hydroxy(phenylmethyl}phosphinyl]amino}-(SCI) (CA INDEX NAME)

L12 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

L12 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L12 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
111LE:
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
PAMILY ACC. NUM. COUNT:
PATENT FOR PATENT INFORMATION:
PATENT TOP NUMBER:
PAMILY ACC. NUM. COUNT:
PATENT TOP NUMBER:
TOP NUMBER:
TOP NUMBER:
1998:28659 CAPLUS
1998:28659 CAPLUS DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. APPLICATION NO. KIND DATE EMT NO. KIND DATE APPLICATION NO. DATE

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W: AL, AM, AT, AU, AZ, BA, BB, BB, BB, BP, CA, CH, CN, CU, CZ, DE, DX, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, HD, MG, MK, MN, MW, MN, NO, NZ, PL, PT, RO, RU, SD, SE, GS, SI, SK, TJ, TH, TT, TI, UA, UG, UZ, VN, PT, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GM, HL, MR, NE, SN, TD, TG

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OTHER SOURCE(S): MARPAT 128:70764

AB Phosphonate, hydroxyphosphinyl, and phosphoramidate derivs.

R1P(O) (OH)XCHRZCO2H (R1 = H, alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl; X = CH2, O, NR1; R2 = (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl; that inhibit N-acetylated α-linked acidic dipeptidase (NAALADase anzyme activity were prepared In vitro assays of NAALADase inhibitory activities are tabulated for several phosphinyl derivs. e.g., 2-(phosphonomethyl)pentanedioic acid.

1T 200701-09-3P

RL: BAC (Biological activity or effactor, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (hydroxy-phosphinyl derivs. useful as NAALADase inhibitors)

RN 200701-09-3 CAPLUS

CN 3-Thiophenepentanoic acid, α-[[hydroxy(phenylmethyl)phosphinyl]amino] - (SCI) (CA INDEX NAME)

L12 ANSWER 10 OF 10
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:
AUTHOR(S):
CORPORATE SOURCE:
SOURCE:
CAPLUS COPYRIGHT 2007 ACS on STN
1977:133495 CAPLUS
Pharmacological study of the 2-chloro-3-(organylamino) derivatives of benzo[b]thiophane sulfone
Germane, S., Udre, V., Vitolina, R.
Inst. Org. Sint., Riga, USSR
Khimiko-Farmatsevticheskii Zhurnal (1976), 10(10),
16-21

Khimiko-Farmatsevticheskii Zhur 16-21 CODEN: KHFZAN, ISSN: 0023-1134 Journal Russian

DOCUMENT TYPE: LANGUAGE: GI

All 22 benzo[b]thiophenesulfones (I) tested in mice, rats, and cats decreased locomotor activity, relaxed skeletal muscles, and inhibited coordination. N-alkyl and N-arylpiperazine derivs, had analyseic and hypothermic effects, potentiated barbiturate sleeping time, and shortened the duration of phenamine sterectypy, these comds, also had pressor effects. Hydroxyamino and diamino derivs, had similar analyseic, hypothermic, and muscle relaxant effects. The cyclomino derivs, potentiated phenamine stereotypy. All compds, tested antagonized the spasmogenic effect of BaCl2 and electroshock convulsions.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, uses) (Uses) (phermacol. of)

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62268-34-2 CAPLUS
1-Butanol. 2-amino-4-{(2-chloro-1,1-dioxidobenzo(b)thien-3-yl)amino)(9CI) (CA INDEX NAME)

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STN INTERNATIONAL LOGOFF AT 10:14:36 ON 11 OCT 2007

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
Ll	47	"5672592"	USPAT	OR	OFF	2007/10/11 10:28
L2 _.	1	("5672592").PN.	USPAT; USOCR	OR	OFF	2007/10/11 10:51
L3	0	00/34254	USPAT; EPO; JPO; DERWENT	OR	OFF	2007/10/11 10:51
L4.	42	"0034254"	USPAT; EPO; JPO; DERWENT	OR	OFF	2007/10/11 10:52
L5	2	"200034254"	USPAT; EPO; JPO; DERWENT	OR	OFF	2007/10/11 11:23
L6	. 1	"10521728"	US-PGPUB; USPAT	OR	OFF	2007/10/11 12:02
L7	220	549/61.ccls.	US-PGPUB; USPAT	OR	OFF	2007/10/11 12:02
L8	45	549/61.ccls. and 549/65.ccls.	US-PGPUB; USPAT	OR	OFF	2007/10/11 12:02
L9	18	549/61.ccls. and 549/65.ccls. and 549/68. ccls.	US-PGPUB; USPAT	OR	OFF	2007/10/11 12:02
S1	1	("6723745").PN.	USPAT; USOCR	OR	OFF	2007/10/11 10:27
S2	1	("6964976").PN.	USPAT; USOCR	OR	OFF	2007/08/20 12:53
S3	1	("7166639").PN.	USPAT; USOCR	OR	OFF	2007/08/20 12:59
S4 .	220	549/61.ccls.	US-PGPUB; USPAT	OR	OFF	2007/08/20 12:59
S5	45	549/61.ccls. and 549/65.ccls.	US-PGPUB; USPAT	OR	OFF	2007/08/20 13:00
S6	55	549/61.ccls. and 549/68.ccls.	US-PGPUB; USPAT	OR	OFF	2007/08/20 13:00
S7	24	549/61.ccls. and 549/68.ccls. and thiophene	US-PGPUB; USPAT	OR	OFF	2007/08/20 13:00
S8	3	549/61.ccls. and 549/68.ccls. and thiophene and pain	US-PGPUB; USPAT	OR	OFF .	2007/08/20 13:00